

Applicants: Frederick VanGoor et al.

Application No.: 10/800,022

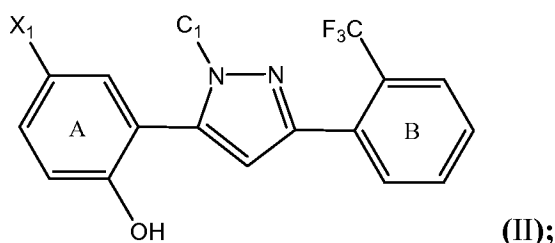
## AMENDMENTS

Please replace all prior versions and listings of claims with the amended claims as follows:

### IN THE CLAIMS

1-51. (previously canceled)

52. (previously amended) A compound of formula (II):



or a pharmaceutically acceptable salt thereof, wherein:

$C_1$  is H, ~~aryl, heterocyclic, heteroaryl, aliphatic,  $C(O)R^2$ ,  $C(O)R^3$ ,  $C(O)NH_2$ ,  $C(O)NHR^2$ ,  $C(O)NHR^3$ ,  $C(O)N(R^2)_2$ ,  $C(O)N(R^3)_2$ ;~~

$X_1$  is selected from halo,  ~~$R^2$ ,  $CF_3$ , CN,  $COOH$ ,  $COOR$ ,  $C(O)R$ ,  $C(O)NH_2$ ,  $C(O)NHR$ , or  $C(O)N(R)_2$ ;~~

each R is independently  $R^2$  or  $R^3$ ;

wherein each of ring B, optionally including  $X_1$  and OH, and  $C_1$  optionally comprises up to 4 substituents, and ring A optionally comprises up to 3 substituents, wherein said substituents are independently selected from  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , or  $R^5$ ;

$R^1$  is  $R^6$  or  $(CH_2)_n-Y$ ;

n is 0, 1 or 2;

Y is halo, CN,  $NO_2$ ,  $CF_3$ ,  $CHF_2$ ,  $CH_2F$ ,

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OCF<sub>3</sub>, OH, SCHF<sub>2</sub>, SR<sup>6</sup>, S(O)R<sup>6</sup>, SO<sub>2</sub>R<sup>6</sup>, NH<sub>2</sub>, NHR<sup>6</sup>, N(R<sup>6</sup>)<sub>2</sub>, NR<sup>6</sup>R<sup>8</sup>, COOH, COOR<sup>6</sup> or OR<sup>6</sup>; or

two R<sup>1</sup> on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R<sup>2</sup> is aliphatic, wherein each R<sup>2</sup> optionally comprises up to 2 substituents independently selected from R<sup>1</sup>, R<sup>4</sup>, or R<sup>5</sup>;

R<sup>3</sup> is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup> or R<sup>5</sup>;

R<sup>4</sup> is OR<sup>5</sup>, OR<sup>6</sup>, OC(O)R<sup>6</sup>, OC(O)R<sup>5</sup>, OC(O)OR<sup>6</sup>, OC(O)OR<sup>5</sup>, OC(O)N(R<sup>6</sup>)<sub>2</sub>, OC(O)N(R<sup>5</sup>)<sub>2</sub>, OC(O)N(R<sup>6</sup>R<sup>5</sup>), OP(O)(OR<sup>6</sup>)<sub>2</sub>, OP(O)(OR<sup>5</sup>)<sub>2</sub>, OP(O)(OR<sup>6</sup>)(OR<sup>5</sup>), SR<sup>6</sup>, SR<sup>5</sup>, S(O)R<sup>6</sup>, S(O)R<sup>5</sup>, SO<sub>2</sub>R<sup>6</sup>, SO<sub>2</sub>R<sup>5</sup>, SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, SO<sub>2</sub>N(R<sup>5</sup>)<sub>2</sub>, SO<sub>2</sub>NR<sup>5</sup>R<sup>6</sup>, SO<sub>3</sub>R<sup>6</sup>, SO<sub>3</sub>R<sup>5</sup>, C(O)R<sup>5</sup>, C(O)OR<sup>5</sup>, C(O)R<sup>6</sup>, C(O)OR<sup>6</sup>, C(O)N(R<sup>6</sup>)<sub>2</sub>, C(O)N(R<sup>5</sup>)<sub>2</sub>, C(O)N(R<sup>5</sup>R<sup>6</sup>), C(O)N(OR<sup>6</sup>)R<sup>6</sup>, C(O)N(OR<sup>5</sup>)R<sup>6</sup>, C(O)N(OR<sup>6</sup>)R<sup>5</sup>, C(O)N(OR<sup>5</sup>)R<sup>5</sup>, C(NOR<sup>6</sup>)R<sup>6</sup>, C(NOR<sup>6</sup>)R<sup>5</sup>, C(NOR<sup>5</sup>)R<sup>6</sup>, C(NOR<sup>5</sup>)R<sup>5</sup>, N(R<sup>6</sup>)<sub>2</sub>, N(R<sup>5</sup>)<sub>2</sub>, N(R<sup>5</sup>R<sup>6</sup>), NR<sup>5</sup>C(O)R<sup>5</sup>, NR<sup>6</sup>C(O)R<sup>6</sup>, NR<sup>6</sup>C(O)R<sup>5</sup>, NR<sup>6</sup>C(O)OR<sup>6</sup>, NR<sup>5</sup>C(O)OR<sup>6</sup>, NR<sup>6</sup>C(O)OR<sup>5</sup>, NR<sup>5</sup>C(O)OR<sup>5</sup>, NR<sup>6</sup>C(O)N(R<sup>6</sup>)<sub>2</sub>, NR<sup>6</sup>C(O)NR<sup>5</sup>R<sup>6</sup>, NR<sup>6</sup>C(O)N(R<sup>5</sup>)<sub>2</sub>, NR<sup>5</sup>C(O)N(R<sup>6</sup>)<sub>2</sub>, NR<sup>5</sup>C(O)NR<sup>5</sup>R<sup>6</sup>, NR<sup>5</sup>C(O)N(R<sup>5</sup>)<sub>2</sub>, NR<sup>6</sup>SO<sub>2</sub>R<sup>6</sup>, NR<sup>6</sup>SO<sub>2</sub>R<sup>5</sup>, NR<sup>5</sup>SO<sub>2</sub>R<sup>5</sup>, NR<sup>6</sup>SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, NR<sup>6</sup>SO<sub>2</sub>NR<sup>5</sup>R<sup>6</sup>, NR<sup>6</sup>SO<sub>2</sub>N(R<sup>5</sup>)<sub>2</sub>, NR<sup>5</sup>SO<sub>2</sub>NR<sup>5</sup>R<sup>6</sup>, NR<sup>5</sup>SO<sub>2</sub>N(R<sup>5</sup>)<sub>2</sub>, N(OR<sup>6</sup>)R<sup>6</sup>, N(OR<sup>6</sup>)R<sup>5</sup>, N(OR<sup>5</sup>)R<sup>5</sup>, N(OR<sup>5</sup>)R<sup>6</sup>, P(O)(OR<sup>6</sup>)N(R<sup>6</sup>)<sub>2</sub>, P(O)(OR<sup>6</sup>)N(R<sup>5</sup>R<sup>6</sup>), P(O)(OR<sup>6</sup>)N(R<sup>5</sup>)<sub>2</sub>, P(O)(OR<sup>5</sup>)N(R<sup>5</sup>R<sup>6</sup>), P(O)(OR<sup>5</sup>)N(R<sup>6</sup>)<sub>2</sub>, P(O)(OR<sup>5</sup>)N(R<sup>5</sup>)<sub>2</sub>, P(O)(OR<sup>6</sup>)<sub>2</sub>, P(O)(OR<sup>5</sup>)<sub>2</sub>, or P(O)(OR<sup>6</sup>)(OR<sup>5</sup>);

R<sup>5</sup> is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R<sup>1</sup> substituents;

R<sup>6</sup> is H or aliphatic, wherein R<sup>6</sup> optionally comprises a R<sup>7</sup> substituent;

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$R^7$  is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each  $R^7$  optionally comprising up to 2 substituents independently chosen from H, (C<sub>1</sub>-C<sub>6</sub>)-straight or branched alkyl, (C<sub>2</sub>-C<sub>6</sub>) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or (CH<sub>2</sub>)<sub>n</sub>-Z;

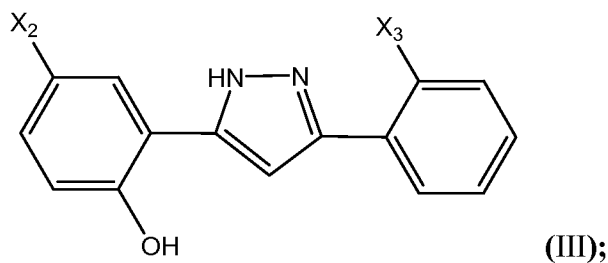
Z is selected from halo, CN, NO<sub>2</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, CF<sub>3</sub>, OCF<sub>3</sub>, OH, SCHF<sub>2</sub>, S-aliphatic, S(O)-aliphatic, SO<sub>2</sub>-aliphatic, NH<sub>2</sub>, N-aliphatic, N(aliphatic)<sub>2</sub>, N(aliphatic)R<sup>8</sup>, COOH, C(O)O(-aliphatic), or O-aliphatic; and

R<sup>8</sup> is an amino protecting group.

53. (previously canceled)

54. (previously amended) The compound according to claim 53, wherein X<sub>1</sub> is ~~selected from (C1-C4)-aliphatic, or C(O)-NH<sub>2</sub> E.~~

55. (previously amended) A compound having formula (III):



or a pharmaceutically acceptable salt thereof, wherein:

X<sub>2</sub> is selected from halo, ~~R<sup>2</sup>, CF<sub>3</sub>, CN, COOH, COOR<sup>2</sup>, COOR<sup>3</sup>, C(O)R<sup>2</sup>, C(O)R<sup>3</sup>, C(O)NH<sub>2</sub>, C(O)NHR, or C(O)NR<sup>2</sup>;~~

X<sub>3</sub> is selected from H, halo, CF<sub>3</sub>, or NO<sub>2</sub>;

each R is independently R<sup>2</sup> or R<sup>3</sup>;

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$R^1$  is oxo,  $R^6$  or  $(CH_2)_n-Y$ ;

$n$  is 0, 1 or 2;

$Y$  is halo, CN,  $NO_2$ ,  $CHF_2$ ,  $CH_2F$ ,  $CF_3$ ,  $OCF_3$ , OH,  $SCHF_2$ ,  $SR^6$ ,  $S(O)R^6$ ,  $SO_2R^6$ ,  $NH_2$ ,  $NHR^6$ ,  $N(R^6)_2$ ,  $NR^6R^8$ ,  $COOH$ ,  $COOR^6$  or  $OR^6$ ; or

two  $R^1$  on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

$R^2$  is aliphatic, wherein each  $R^2$  optionally comprises up to 2 substituents independently selected from  $R^1$ ,  $R^4$ , or  $R^5$ ;

$R^3$  is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from  $R^1$ ,  $R^2$ ,  $R^4$  or  $R^5$ ;

$R^4$  is  $OR^5$ ,  $OR^6$ ,  $OC(O)R^6$ ,  $OC(O)R^5$ ,  $OC(O)OR^6$ ,  $OC(O)OR^5$ ,  $OC(O)N(R^6)_2$ ,  $OC(O)N(R^5)_2$ ,  $OC(O)N(R^6R^5)$ ,  $OP(O)(OR^6)_2$ ,  $OP(O)(OR^5)_2$ ,  $OP(O)(OR^6)(OR^5)$ ,  $SR^6$ ,  $SR^5$ ,  $S(O)R^6$ ,  $S(O)R^5$ ,  $SO_2R^6$ ,  $SO_2R^5$ ,  $SO_2N(R^6)_2$ ,  $SO_2N(R^5)_2$ ,  $SO_2NR^5R^6$ ,  $SO_3R^6$ ,  $SO_3R^5$ ,  $C(O)R^5$ ,  $C(O)OR^5$ ,  $C(O)R^6$ ,  $C(O)OR^6$ ,  $C(O)N(R^6)_2$ ,  $C(O)N(R^5)_2$ ,  $C(O)N(R^5R^6)$ ,  $C(O)N(OR^6)R^6$ ,  $C(O)N(OR^5)R^6$ ,  $C(O)N(OR^6)R^5$ ,  $C(O)N(OR^5)R^5$ ,  $C(NOR^6)R^6$ ,  $C(NOR^6)R^5$ ,  $C(NOR^5)R^6$ ,  $C(NOR^5)R^5$ ,  $N(R^6)_2$ ,  $N(R^5)_2$ ,  $N(R^5R^6)$ ,  $NR^5C(O)R^5$ ,  $NR^6C(O)R^6$ ,  $NR^6C(O)R^5$ ,  $NR^6C(O)OR^6$ ,  $NR^5C(O)OR^6$ ,  $NR^6C(O)OR^5$ ,  $NR^5C(O)OR^5$ ,  $NR^6C(O)N(R^6)_2$ ,  $NR^6C(O)NR^5R^6$ ,  $NR^6C(O)N(R^5)_2$ ,  $NR^5C(O)N(R^6)_2$ ,  $NR^5C(O)NR^5R^6$ ,  $NR^5C(O)N(R^5)_2$ ,  $NR^6SO_2R^6$ ,  $NR^6SO_2R^5$ ,  $NR^5SO_2R^5$ ,  $NR^6SO_2N(R^6)_2$ ,  $NR^6SO_2NR^5R^6$ ,  $NR^6SO_2N(R^5)_2$ ,  $NR^5SO_2NR^5R^6$ ,  $NR^5SO_2N(R^5)_2$ ,  $N(OR^6)R^6$ ,  $N(OR^6)R^5$ ,  $N(OR^5)R^5$ ,  $N(OR^5)R^6$ ,  $P(O)(OR^6)N(R^6)_2$ ,  $P(O)(OR^6)N(R^5R^6)$ ,  $P(O)(OR^6)N(R^5)_2$ ,  $P(O)(OR^5)N(R^5R^6)$ ,  $P(O)(OR^5)N(R^6)_2$ ,  $P(O)(OR^5)N(R^5)_2$ ,  $P(O)(OR^6)_2$ ,  $P(O)(OR^5)_2$ , or  $P(O)(OR^6)(OR^5)$ ;

$R^5$  is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3  $R^1$  substituents;

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$R^6$  is H or aliphatic, wherein  $R^6$  optionally comprises a  $R^7$  substituent;

$R^7$  is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each  $R^7$  optionally comprising up to 2 substituents independently chosen from H, (C<sub>1</sub>-C<sub>6</sub>)-straight or branched alkyl, (C<sub>2</sub>-C<sub>6</sub>) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or (CH<sub>2</sub>)<sub>n</sub>-Z;

Z is selected from halo, CN, NO<sub>2</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, CF<sub>3</sub>, OCF<sub>3</sub>, OH, SCHF<sub>2</sub>, S-aliphatic, S(O)-aliphatic, SO<sub>2</sub>-aliphatic, NH<sub>2</sub>, N-aliphatic, N(aliphatic)<sub>2</sub>, N(aliphatic) $R^8$ , COOH, C(O)O(-aliphatic, or O-aliphatic; and

$R^8$  is an amino protecting group;  
provided that:

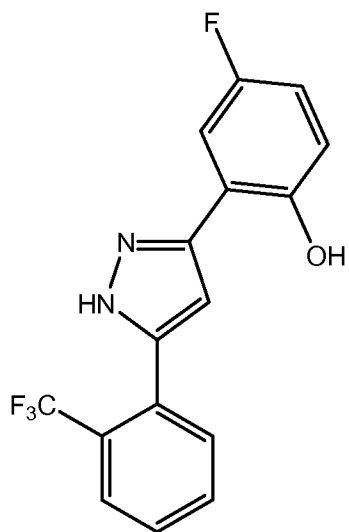
- (i) when  $X_3$  is H, then  $X_2$  is not methyl, chloro, or bromo;
- (ii) when  $X_2$  is chloro, then  $X_3$  is not fluoro, chloro, or nitro;
- (iii) when  $X_2$  is methyl, then  $X_3$  is not nitro or chloro.

56-82. (previously canceled)

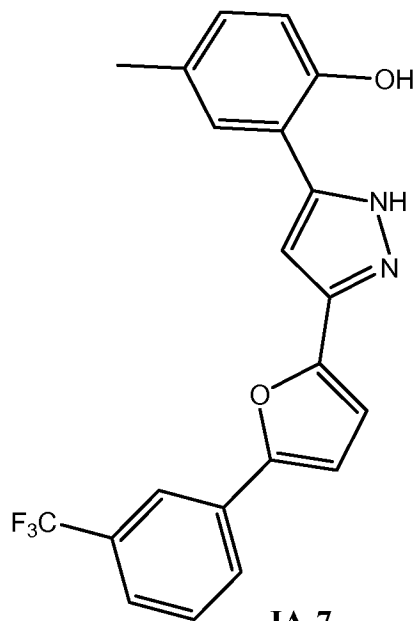
83. (previously amended) A compound selected from ~~IA-6, IA-7, IA-20, IA-26, IA-31, IA-42, IA-50, IA-54, IA-61, IA-64, IA-76, IA-92, IA-95, or IA-107.~~;

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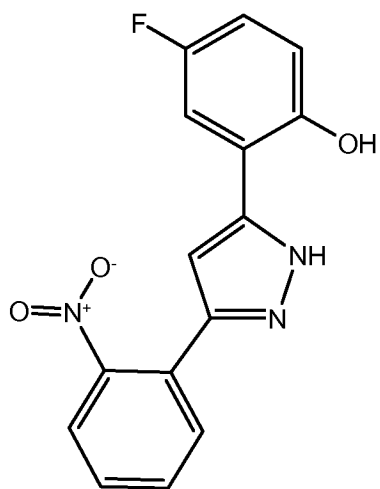
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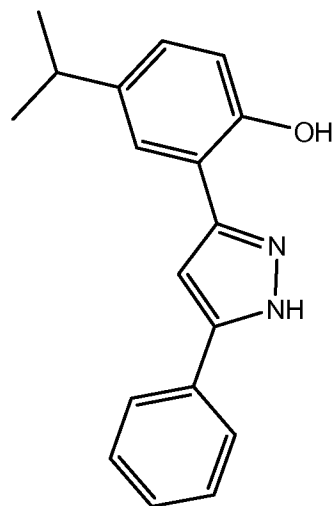
**IA-6**



**IA-7**



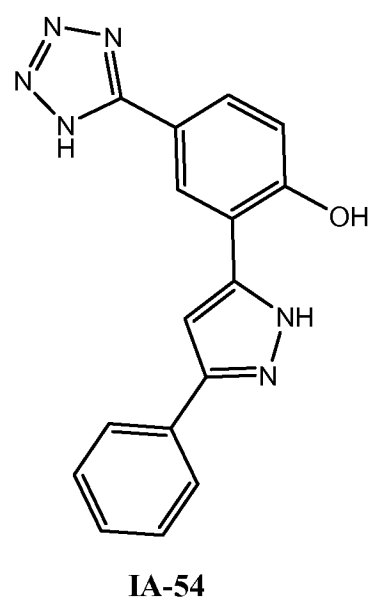
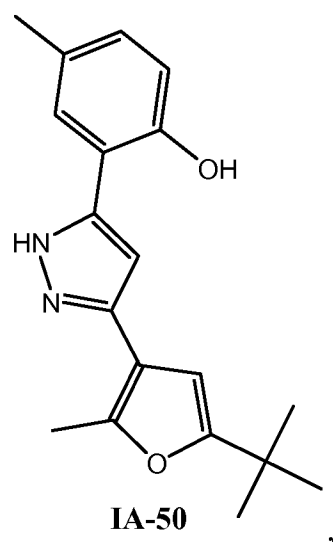
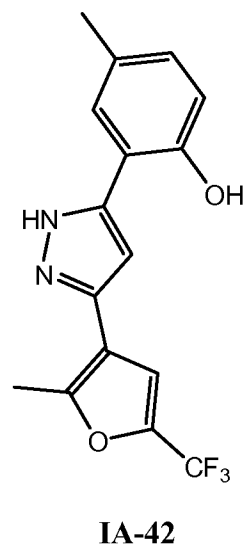
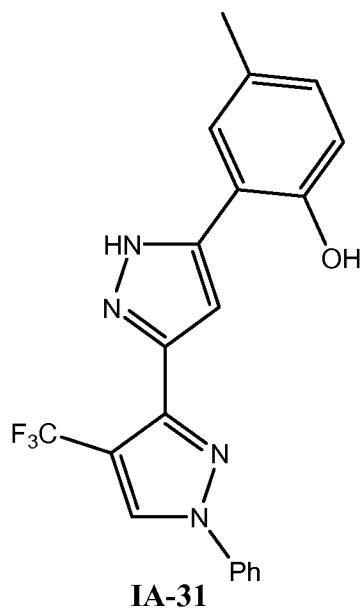
**IA-20**



**IA-26**

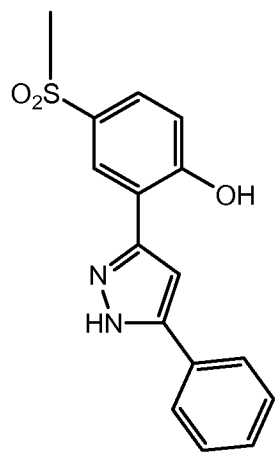
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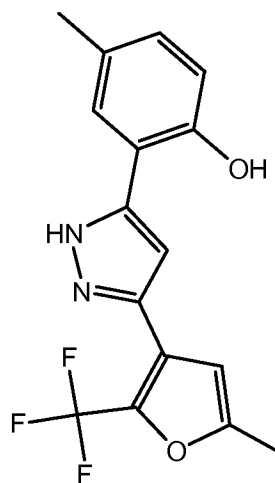


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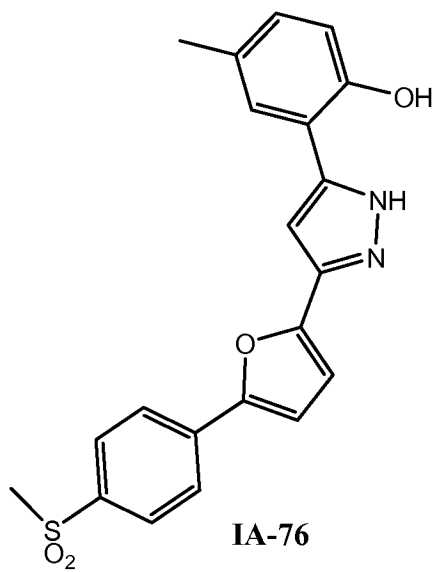
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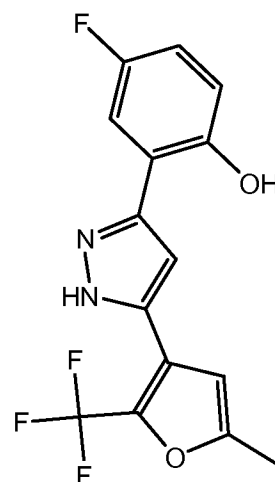
**IA-61**



**IA-64**



**IA-76**

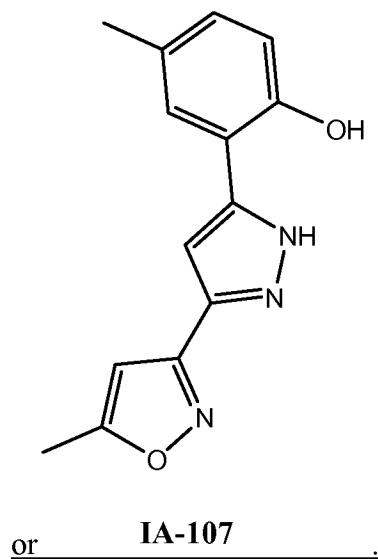
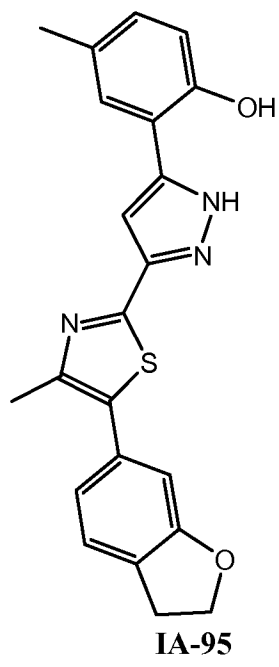


**IA-92**



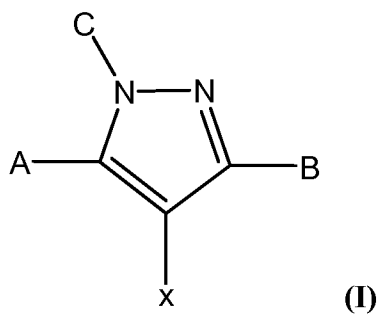
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84. (canceled)

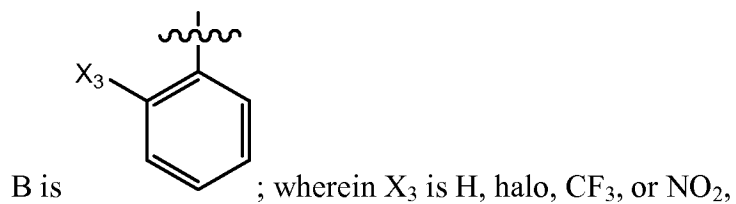
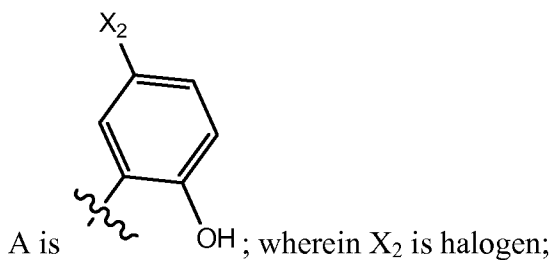
85. (previously presented) A compound of formula (I):



or a pharmaceutically acceptable salt thereof;  
wherein:

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C is H;

X is H; and

provided that when X<sub>3</sub> is H, X<sub>2</sub> is not Cl.

86. (previously presented) The compound according to claim 85, wherein said compound has one or more of the features selected from the group:

- (a) X<sub>3</sub> is halo, CF<sub>3</sub>, or NO<sub>2</sub>; and
- (b) X<sub>2</sub> is halo.

87. (new) A pharmaceutical composition comprising a compound according to any one of claims 52, 55, 83, 85, and 86, and a pharmaceutically acceptable carrier or adjuvant.